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NEWS 9 Mar 24 Additional information for trade-named substances without
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NEWS 10 Apr 11 Display formats in DGENE enhanced
NEWS 11 Apr 14 MEDLINE Reload
NEWS 12 Apr 17 Polymer searching in REGISTRY enhanced
NEWS 13 AUG 15 Indexing from 1937 to 1946 added to records in CA/CAPLUS
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WPIDS/WPINDEX/WPIX
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added to PHAR
NEWS 17 May 15 MEDLINE file segment of TOXCENTER reloaded
NEWS 18 May 15 Supporter information for ENCOMPAT and ENCOMPLIT updated
NEWS 19 May 19 Simultaneous left and right truncation added to WSCA
NEWS 20 May 19 RAPRA enhanced with new search field, simultaneous left and
right truncation
NEWS 21 Jun 06 Simultaneous left and right truncation added to CBNB
NEWS 22 Jun 06 PASCAL enhanced with additional data
NEWS 23 Jun 20 2003 edition of the FSTA Thesaurus is now available
NEWS 24 Jun 25 HSDB has been reloaded
NEWS 25 Jul 16 Data from 1960-1976 added to RDISCLOSURE
NEWS 26 Jul 21 Identification of STN records implemented
NEWS 27 Jul 21 Polymer class term count added to REGISTRY
NEWS 28 Jul 22 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
Right Truncation available
NEWS 29 AUG 05 New pricing for EUROPATFULL and PCTFULL effective
August 1, 2003
NEWS 30 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 31 AUG 15 PATDPAFULL: one FREE connect hour, per account, in
September 2003
NEWS 32 AUG 15 PCTGEN: one FREE connect hour, per account, in
September 2003
NEWS 33 AUG 15 RDISCLOSURE: one FREE connect hour, per account, in
September 2003
NEWS 34 AUG 15 TEMA: one FREE connect hour, per account, in
September 2003
NEWS 35 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT

MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability
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NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:43:55 ON 18 AUG 2003

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:44:37 ON 18 AUG 2003

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 AUG 2003 HIGHEST RN 567484-39-3

DICTIONARY FILE UPDATES: 15 AUG 2003 HIGHEST RN 567484-39-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STN Note 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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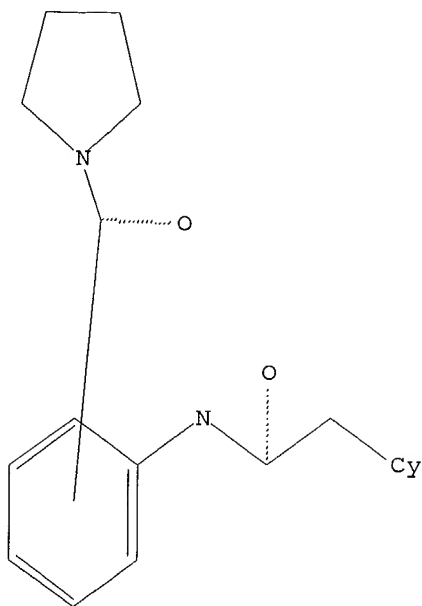
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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:44:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3401 TO ITERATE

29.4% PROCESSED 1000 ITERATIONS 3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 64524 TO 71516
PROJECTED ANSWERS: 13 TO 395

L2 3 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 12:44:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 67670 TO ITERATE

100.0% PROCESSED 67670 ITERATIONS 152 ANSWERS
SEARCH TIME: 00.00.02

L3 152 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 12:44:59 ON 18 AUG 2003
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FILE COVERS 1907 - 18 Aug 2003 VOL 139 ISS 8
FILE LAST UPDATED: 17 Aug 2003 (20030817/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

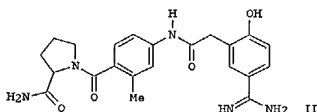
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L4 9 L3

=> d ibib abs hitstr 1-9

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:615560 CAPLUS
 DOCUMENT NUMBER: 137:169322
 TITLE: Preparation of N-[(pyrrolidinocarbonyl)phenyl]amidino-
 benylacetamides and analogs as factor Xa inhibitors
 INVENTOR(S): Ries, Uwe-Joerg; Priepke, Henning; Nar, Herbert;
 Stassen, Jean-Marie; Wienen, Wolfgang
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

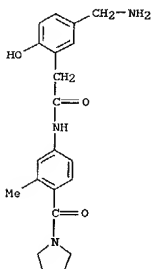
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062748	A1	20020815	WO 2002-EP827	20020126
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DE 10104598	A1	20020808	DE 2001-10104598	20010202
DE 10136434	A1	20030213	DE 2001-10136434	20010726
PRIORITY APPLN. INFO.:		DE 2001-10104598 A 20010202 DE 2001-10136434 A 20010726		
OTHER SOURCE(S):		MARPAT 137:169322		
GI				



AB Title compds., e.g., R121NHCOZ2R2 (I; R1 = 3- or 4-pyrrolidinylcarbonyl, 3- or 4-piperidinylcarbonyl, benzoyl, pyridinylcarbonyl, etc.; R2 = Z3R3; R3 = aminocarbonyl or C(=NH)NH2; Z1 = (un)substituted phenylene; Z2 = (un)substituted CH2; Z3 = 1,3-phenylene, 2-hydroxy-1,5-phenylene-, etc.) were prep'd. Thus, tert-Bu 4-amino-2-methylbenzoate was amidated by 5-cyano-2-benzoyloxyphenylacetic acid and the sapon. product amidated by L-prolinamide to give, in 2 addnl. steps, title compd. I-II. Data for biol. activity of title compds. were given.

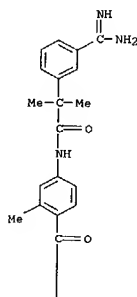
IT 445003-31-6P 445003-53-2P 445003-59-8P

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 445003-59-8 CAPLUS
 CN Benzeneacetamide, 3-(aminoiminomethyl)-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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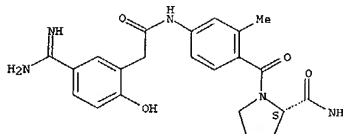


L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

445003-68-9P 445003-74-7P 445003-90-7P
 445004-04-6P 445004-11-5P 445004-13-7P
 445004-17-1P 445004-19-3P 445004-21-7P
 445004-33-1P 445005-39-1P 445005-40-4P
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 445005-45-9P 445005-46-0P 445005-47-1P
 445005-48-2P 445005-49-3P 445005-50-6P
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 445005-56-2P 445005-57-3P 445005-58-4P
 445005-59-5P 445005-60-8P 445005-61-9P
 445005-62-0P 445005-63-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of N-[(pyrrolidinocarbonyl)phenyl]amidino-phenylacetamides and analogs as factor Xa inhibitors)

RN 445003-31-6 CAPLUS
 CN 2-Pyrrolidinocarbonyl, 1-[4-[[[5-(aminoiminomethyl)-2-hydroxyphenyl]acetyl]amino]-2-methylbenzoyl]-, monohydrochloride, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 445003-53-2 CAPLUS
 CN Benzeneacetamide, 5-(aminomethyl)-2-hydroxy-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

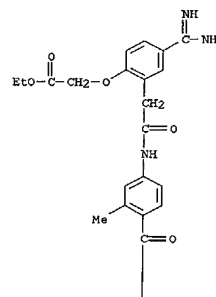
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● HCl

RN 445003-68-9 CAPLUS
 CN Acetic acid, [4-(aminoiminomethyl)-2-[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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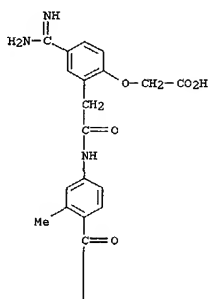
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● HCl

RN 445003-74-7 CAPLUS
 CN Acetic acid, [4-(aminoiminomethyl)-2-[2-[[3-methyl-4-(1-

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



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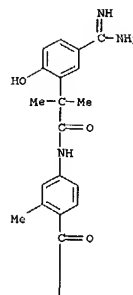


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RN 445003-90-7 CAPLUS
CN Benzeneacetamide, 5-((aminoiminomethyl)-2-hydroxy-.alpha.,.alpha.-dimethyl-N-(3-methyl-4-((1-pyrrolidinylcarbonyl)phenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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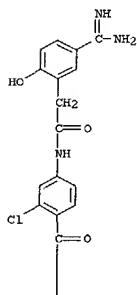


● HCl

RN 445004-04-6 CAPLUS
CN Benzeneacetamide, 5-((aminoiminomethyl)-N-(3-chloro-4-((1-pyrrolidinylcarbonyl)phenyl)-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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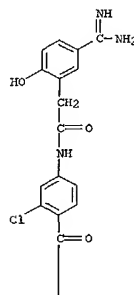


● HCl

RN 445004-11-5 CAPLUS
CN Benzeneacetamide, 5-((aminoiminomethyl)-N-(3-chloro-4-((1-pyrrolidinylcarbonyl)phenyl)-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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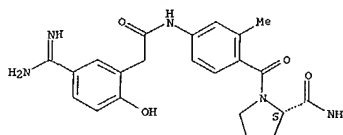


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RN 445004-13-7 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-[4-[[[5-((aminoiminomethyl)-2-hydroxyphenyl)acetyl]amino]-2-methylbenzoyl]-, (2S)- (9CI) (CA INDEX NAME)

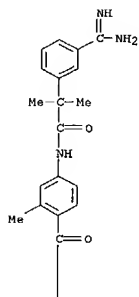
Absolute stereochemistry.



RN 445004-17-1 CAPLUS
CN Benzeneacetamide, 3-((aminoiminomethyl)-.alpha.,.alpha.-dimethyl-N-(3-methyl-4-((1-pyrrolidinylcarbonyl)phenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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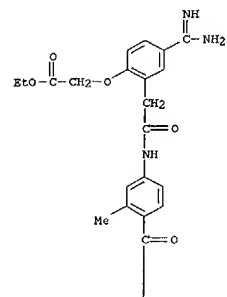
PAGE 2-A



RN 445004-19-3 CAPLUS
CN Acetic acid, [4-(aminiminomethyl)-2-[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester (9CI)
(CA INDEX NAME)

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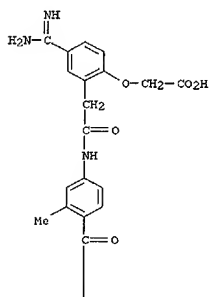
PAGE 2-A



RN	445004-21-7	CAPLUS	
CN	Acetic acid, {4-[(aminoiminomethyl)-2-[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]- (9CI) (CA INDEX NAME)		

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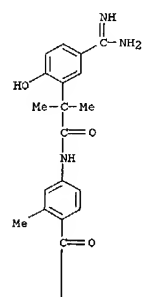
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RN 445004-33-1 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-.alpha.,.alpha.-dimethyl-
N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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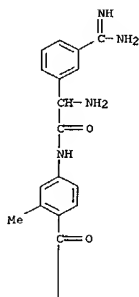


RN 446305-39-1 CAPLUS
CN Benzeneacetamide, .alpha.-amino-3-(aminoiminomethyl)-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

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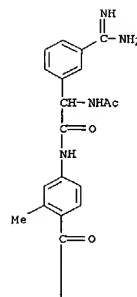
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RN 446305-40-4 CAPLUS
CN Benzeneacetamide, .alpha.-(acetylaminomethyl)-3-(aminoiminomethyl)-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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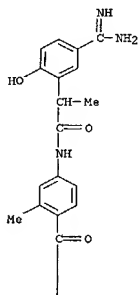
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RN 446305-42-6 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-.alpha.-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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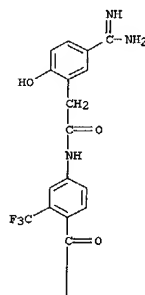
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RN 446305-43-7 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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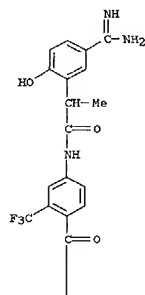
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RN 446305-44-8 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-.alpha.-methyl-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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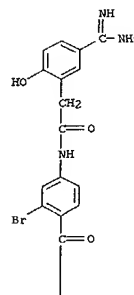
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RN 446305-45-9 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

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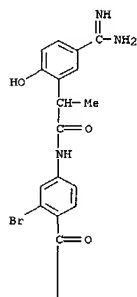
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RN 446305-46-0 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-.alpha.-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

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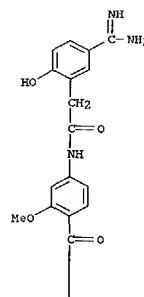
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RN 446305-47-1 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-[3-methoxy-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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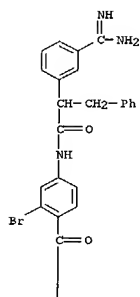


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RN 446305-48-2 CAPLUS
CN Benzenepropanamide, .alpha.-[3-(aminoiminomethyl)phenyl]-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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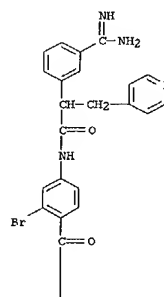


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RN 446305-49-3 CAPLUS
CN 4-Pyridinepropanamide, .alpha.-[3-(aminoiminomethyl)phenyl]-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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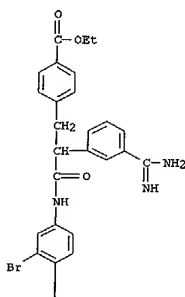


● HCl

RN 446305-50-6 CAPLUS
CN Benzoic acid, 4-[2-[3-(aminoiminomethyl)phenyl]-3-[[[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-3-oxopropyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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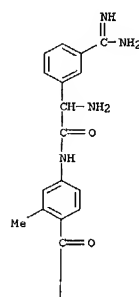


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RN 446305-52-8 CAPLUS
CN Benzeneacetamide, .alpha.-amino-3-(aminoiminomethyl)-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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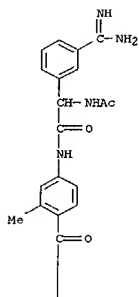
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RN 446305-53-9 CAPLUS
CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)-3-(aminoiminomethyl)-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



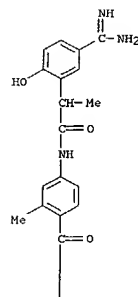
PAGE 2-A



RN 446305-55-1 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-.alpha.-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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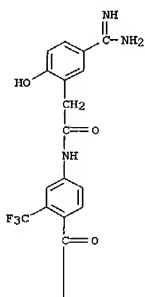
PAGE 2-A



RN 446305-56-2 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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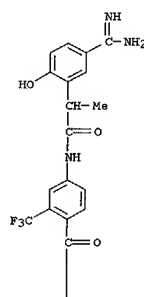
PAGE 2-A



RN 446305-57-3 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-.alpha.-methyl-N-[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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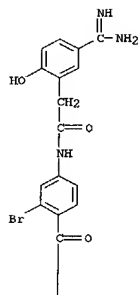
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RN 446305-58-4 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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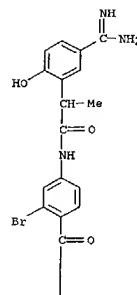
PAGE 2-A



RN 446305-59-5 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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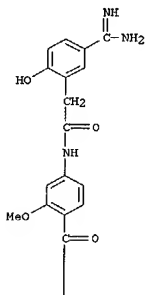
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RN 446305-60-8 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-[3-methoxy-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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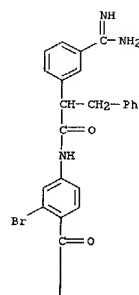
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RN 446305-61-9 CAPLUS
CN Benzenepropanamide, .alpha.-[3-(aminoiminomethyl)phenyl]-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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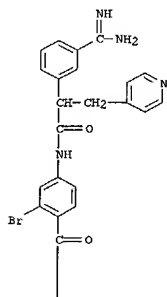
PAGE 2-A



RN 446305-62-0 CAPLUS
CN 4-Pyridinepropanamide, .alpha.-[3-(aminoiminomethyl)phenyl]-N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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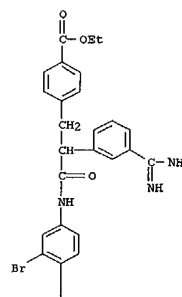
PAGE 2-A



RN 446305-63-1 CAPLUS
 CN Benzoic acid, 4-([2-[3-(aminoiminomethyl)phenyl]-3-[[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-3-oxopropyl]-, ethyl ester (SCI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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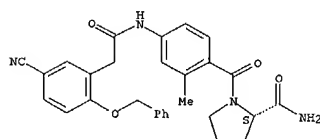


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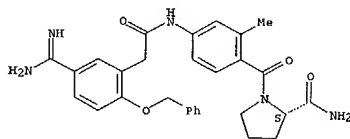
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 445004-08-0P 446305-68-6P 446305-76-6P
 446305-79-9P 446305-82-4P 446305-85-7P
 446305-86-8P
 RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), RACT (Reactant or reagent)
 (prepn. of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as factor Xa inhibitors)
 RN 445003-42-9 CAPLUS
 CN 2-Pyrrolidinecarboxamide, 1-[4-[[[5-cyano-2-(phenylmethoxy)phenyl]acetyl]amino]-2-methylbenzoyl]-, (2S)- (SCI) (CA INDEX NAME)
 Absolute stereochemistry.

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 445003-44-1 CAPLUS
 CN 2-Pyrrolidinecarboxamide, 1-[4-[[[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]acetyl]amino]-2-methylbenzoyl]-, monohydrochloride, (2S)- (SCI) (CA INDEX NAME)

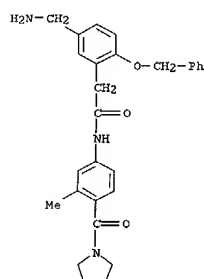
Absolute stereochemistry.



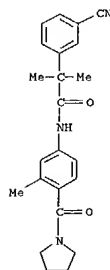
● HCl

RN 445003-55-4 CAPLUS
 CN Benzeneacetamide, 5-(aminomethyl)-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (SCI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

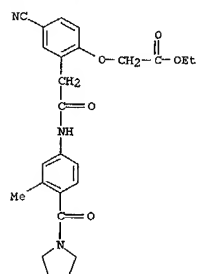


RN 445003-65-6 CAPLUS
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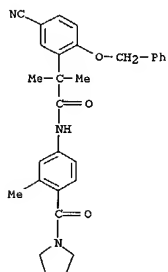


RN 445003-70-3 CAPLUS
 CN Acetic acid, [4-cyano-2-[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester (SCI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

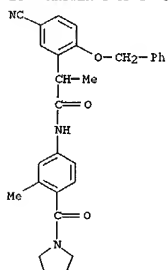


RN 445004-02-4 CAPLUS
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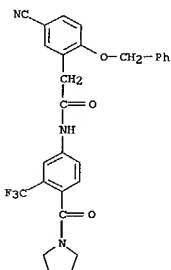


RN 445004-08-0 CAPLUS
CN Benzeneacetamide, N-[3-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]-5-cyano-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

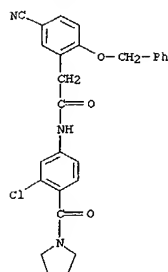


RN 446305-79-9 CAPLUS
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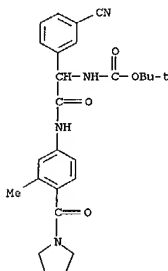


RN 446305-82-4 CAPLUS
CN Benzeneacetamide, N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-5-cyano-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

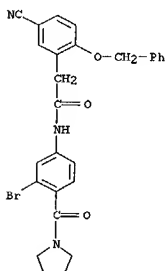


RN 446305-68-6 CAPLUS
CN Carbamic acid, [1-(3-cyanophenyl)-2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

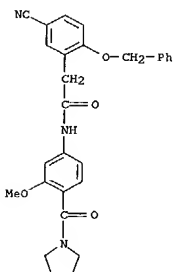


RN 446305-76-6 CAPLUS
CN Benzeneacetamide, 5-cyano-.alpha.-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

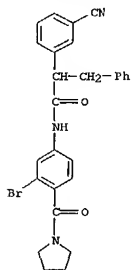


RN 446305-85-7 CAPLUS
CN Benzeneacetamide, 5-cyano-N-[3-methoxy-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 446305-86-8 CAPLUS
CN Benzeneacetamide, N-[3-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]-.alpha.- (3-cyanophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

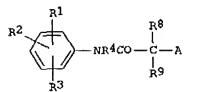
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 DOCUMENT NUMBER: 137:140430
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 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
 SOURCE: Ger. Offen., 22 pp.
 CODEN: GWXXEX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

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DE 10104598	A1	20020808	DE 2001-10104598	20010202
US 2002131596	A1	20021017	US 2002-51412	20020117
WO 2002062748	A1	20020815	WO 2002-EP827	20020126

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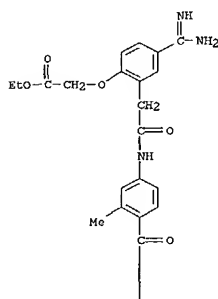
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AB Title compds. [I; R1 = (NH-interrupted) (substituted) C3-7 cycloalkylcarbonyl etc.; R2 = H, F, Cl, Br, fluorinated alkyl, OH, alkoxy; R3 = H, alkyl; R4 = H, CO2H-substituted alkyl; A = substituted Ph, naphthyl; R8, R9 = H, alkyl], and 2-(5-amidino-2-hydroxyphenyl)-N-[3-chloro-4-(pyrrolidin-1-yl)phenyl]acetamide and salts thereof, were prepd. Thus, a mixt. of 3-methyl-4-(pyrrolidin-1-yl)carbonylaniline and Et3N in THF was dropwise treated with 2-(2-benzyloxy-5-cyanophenyl)-2-methylpropionyl chloride (prepn. given) in THF for 14 h to give 50% 2-(2-benzyloxy-5-cyanophenyl)-N-[3-methyl-4-(pyrrolidin-1-yl)carbonyl]phenyl]-2,2-dimethylacetamide which was treated with HCl/(NH4)2CO3 and H2/Pd in MeOH to give 77% 2-(5-amidino-2-hydroxyphenyl)-N-[3-methyl-4-(pyrrolidin-1-yl)carbonyl]phenyl]-2,2-dimethylacetamide hydrochloride. Several I inhibited factor Xa with IC50 = 0.028-0.320

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

mu.H.
 IT 445003-68-9P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of phenyl(heteroaryl)acetamides as anticoagulants)
 RN 445003-68-9 CAPLUS
 CN Acetic acid, [4-(aminoininomethyl)-2-[[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



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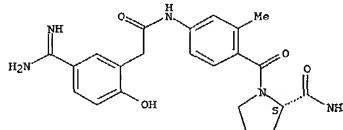
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of phenyl(heteroaryl)acetamides as anticoagulants)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

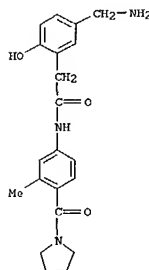
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 CN 2-Pyrrolidinecarboxamide, 1-[4-[[[5-(aminoininomethyl)-2-hydroxyphenyl]acetyl]amino]-2-methylbenzoyl]-, monohydrochloride, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

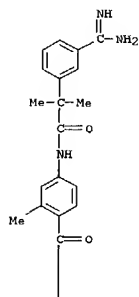
RN 445003-53-2 CAPLUS
 CN Benzeneacetamide, S-(aminomethyl)-2-hydroxy-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 445003-59-8 CAPLUS
 CN Benzeneacetamide, 3-(aminoininomethyl)-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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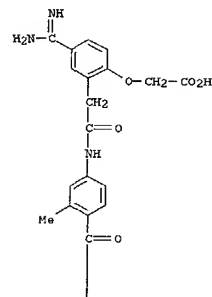


● HCl

RN 445003-74-7 CAPLUS
CN Acetic acid, [4-(aminoiminomethyl)-2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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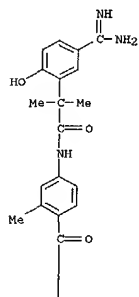


● HCl

RN 445003-90-7 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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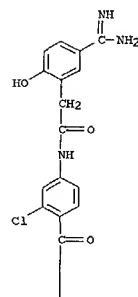


● HCl

RN 445004-04-6 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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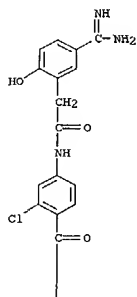


● HCl

RN 445004-11-5 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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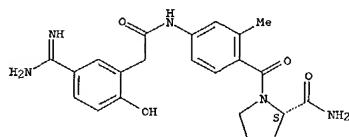


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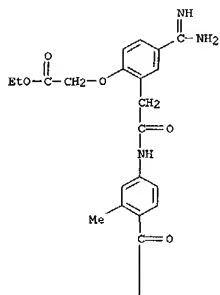
RN 445004-13-7 CAPLUS
CN 2-Pyrrolidinylcarboxamide, 1-[[4-[[5-(aminominoethyl)-2-hydroxyphenyl]acetyl]amino]-2-methylbenzoyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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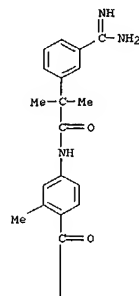
PAGE 2-A



RN 445004-21-7 CAPLUS
CN Acetic acid, [4-(aminominoethyl)-2-[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 445004-17-1 CAPLUS
CN Benzeneacetamide, 3-(aminominoethyl)-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



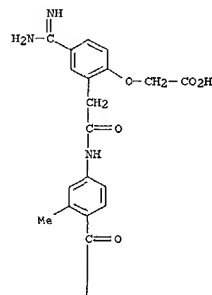
PAGE 2-A



RN 445004-19-3 CAPLUS
CN Acetic acid, [4-(aminominoethyl)-2-[2-[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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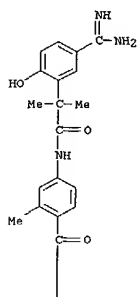


RN 445004-33-1 CAPLUS
CN Benzeneacetamide, 5-(aminominoethyl)-2-hydroxy-.alpha.,.alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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IT 445003-57-6 445003-72-5

RL: RCT (Reactant); RACT (Reactant or reagent)

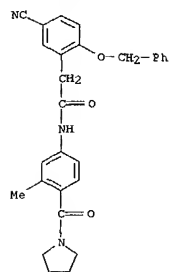
(prepn. of phenyl(heteroaryl)acetamides as anticoagulants)

RN 445003-57-6 CAPLUS

CN Benzeneacetamide, 5-cyano-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

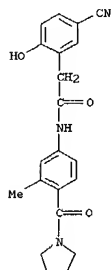
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)



RN 445003-72-5 CAPLUS

CN Benzeneacetamide, 5-cyano-2-hydroxy-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



IT 445003-42-9P 445003-44-1P 445003-55-4P

445003-65-6P 445003-70-3P 445004-02-4P

445004-08-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenyl(heteroaryl)acetamides as anticoagulants)

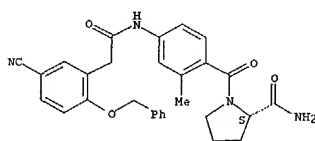
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

RN 445003-42-9 CAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[4-[[[5-cyano-2-(phenylmethoxy)phenyl]acetyl]amino]-2-methylbenzoyl]-, (2S)- (9CI) (CA INDEX NAME)

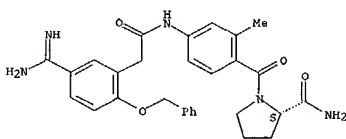
Absolute stereochemistry.



RN 445003-44-1 CAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[4-[[[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]acetyl]amino]-2-methylbenzoyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



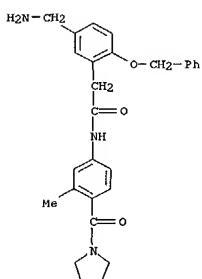
● HCl

RN 445003-55-4 CAPLUS

CN Benzeneacetamide, 5-(aminomethyl)-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

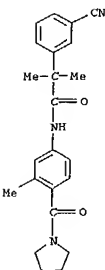
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)



RN 445003-65-6 CAPLUS

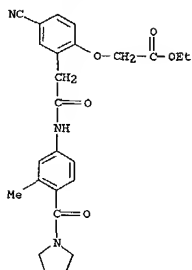
CN Benzeneacetamide, 3-cyano-.alpha..alpha.-dimethyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



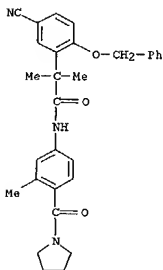
RN 445003-70-3 CAPLUS

CN Acetic acid, [4-cyano-2-[2-[[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



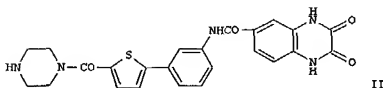
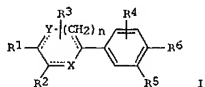
RN 445004-02-4 CAPLUS
 CN Benzeneacetamide, 5-cyano-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 445004-08-0 CAPLUS
 CN Benzeneacetamide, N-[3-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]-5-cyano-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

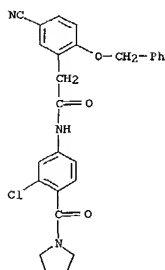
L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:107059 CAPLUS
 DOCUMENT NUMBER: 136:151182
 TITLE: Antimicrobial biaryl compounds
 INVENTOR(S): Jefferson, Elizabeth Ann; Swayze, Eric
 PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 44 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002009648	A2	20020207	WO 2001-US24067	20010801
WO 2002009648	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001080944	A5	20020213	AU 2001-80944	20010801
EP 1305028	A2	20030502	EP 2001-959380	20010801
R: AT, BE, BG, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.: US 2000-630122 A 20000801 WO 2001-US24067 W 20010801				
OTHER SOURCE(S): MARPAT 136:151182				
GI				



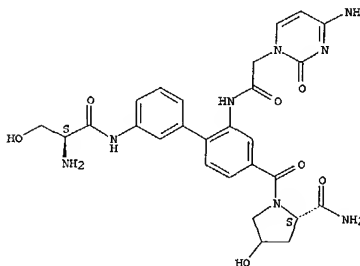
AB Biaryls I [X = CH, O, S, N, NH; Y = CH, N; n = 0, 1; one of R1 and R2 = (un)substituted CONR2, CO2NR2, CH2NH2, SO2NH2 and the other is H or R3; one of R5 and R6 = NHCOR7, NHCOR7, NHCOR7 and the other is H, R4; Q = amino acid or peptide residue; R3 = H, halogen, (un)substituted NH2, NHCOR7; R4 = H, halogen, hydroxyl, amino, carbonyl, alkyl, alkenyl, alkynyl; R7 = H, amino, (un)substituted alkyl, alkenyl, alkynyl, 5-16 member carbocycle or heterocycle] were prep'd for use as antimicrobial agents. Thus, polymer-supported piperazine was acylated with

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 5-bromo-2-thiophenecarboxylic acid, coupled with 3-H2NC6H4B(OH)2, and acylated with 2,3-dioxobenzopyrazine-6-carboxylic acid to give the biaryl II. In a coupled bacterial transcription-translation assay II had an IC50 of 25 .mu.M.
 IT 395647-88-8P 395647-92-4P 395647-96-8P
 RI: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of acylaminobiarylcarboxamides as bactericides)
 RN 395647-88-8 CAPLUS
 CN 1(2H)-Pyrimidineacetamide, 4-amino-N-[4-[[[(2S)-2-(aminocarbonyl)-4-hydroxy-1-pyrrolidinyl]carbonyl]-3'-[[[(2S)-2-amino-3-hydroxy-1-oxopropyl]amino][1,1'-biphenyl]-2-yl]-2-oxo- (9CI) (CA INDEX NAME)

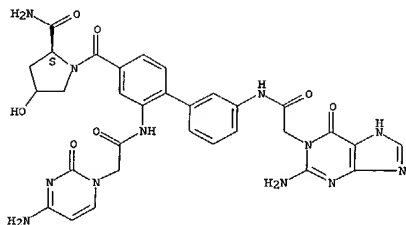
Absolute stereochemistry.



RN 395647-92-4 CAPLUS
 CN 1H-Purine-1-acetamide, 2-amino-N-[4'-[[[(2S)-2-(aminocarbonyl)-4-hydroxy-1-pyrrolidinyl]carbonyl]-2'-[[[(4-amino-2-oxo-1(2H)-pyrimidinyl)acetyl]amino][1,1'-biphenyl]-3-yl]-6,7-dihydro-6-oxo- (9CI) (CA INDEX NAME)

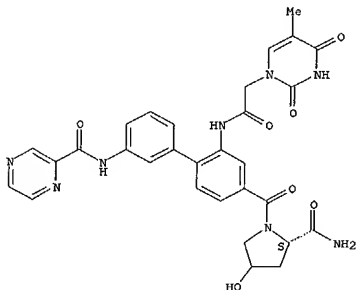
Absolute stereochemistry.

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



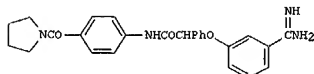
RN 395647-96-8 CAPLUS
 CN Pyrazinecarboxamide, N-[4'-[[[(2S)-2-(aminocarbonyl)-4-hydroxy-1-pyrrolidinyl]carbonyl]-2'-[[[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]amino][1,1'-biphenyl]-3-yl]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:628986 CAPLUS
 DOCUMENT NUMBER: 135:371261
 TITLE: Design and synthesis of glycolic and mandelic acid derivatives as factor Xa inhibitors
 AUTHOR(S): Su, T.; Wu, Y.; Doughan, B.; Kane-Maguire, K.; Marlowe, C. K.; Kanter, J. P.; Woolfrey, J.; Huang, B.; Wong, P.; Sinha, U.; Park, G.; Malinowski, J.; Hollenbach, S.; Scarborough, R. M.; Zhu, B.-Y.
 CORPORATE SOURCE: COR Therapeutics, Inc., South San Francisco, CA, 94080, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(17), 2279-2282
 CODEN: BMCL88; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



1

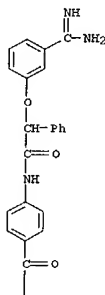
AB A series of glycolic and mandelic acid derivs. was synthesized and investigated for their factor Xa inhibitory activity. These analogs are highly potent and selective inhibitors against fXa. In a rabbit deep vein thrombosis model, compd. 1 showed significant antithrombotic effects (81% inhibition of thrombus formation) at 1.1 .mu.M plasma concn. following i.v. administration.

IT 308288-70-2P 308288-82-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

RN 308288-70-2 CAPLUS
 CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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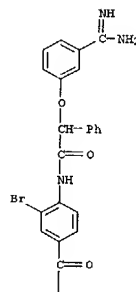
PAGE 2-A



RN 308288-82-6 CAPLUS
 CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[2-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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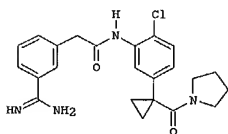
PAGE 2-A



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:115108 CAPLUS
 DOCUMENT NUMBER: 134:162832
 TITLE: Preparation of amidinobenzamides and analogs as factor
 Xa inhibitors
 INVENTOR(S): Ries, Uwe; Pripke, Henning; Heckel, Armin; Nar,
 Herbert; Wiene, Wolfgang; Stassen, Jean Marie
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
 SOURCE: PCT Int. Appl., 80 pp.
 CODEN: P1XXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

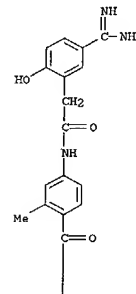
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010823	A1	20010215	WO 2000-EP7457	20000802
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GI, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, HL, HR, KE, SN, TD, TG				
DE 19937494	A1	20010208	DE 1999-19937494	19990807
DE 10025663	A1	20011129	DE 2000-10025663	20000524
EP 1206446	A1	20020522	EP 2000-956375	20000802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506432	T2	20030218	JP 2001-515290	20000802
PRIORITY APPLN. INFO.: DE 1999-19937494 A 19990807 DE 2000-10025663 A 20000524 WO 2000-EP7457 W 20000802				
OTHER SOURCE(S): MARPAT 134:162832 GI				



AB R12(CH2)mNR4CO(CH2)nZ1R5 [I: R1 = (un)substituted alkyl, -amino, cycloalkyl, -amino, alkoxyalkyl, etc.; R4 = H or (carboxy)alkyl; R5 =

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 cyano or (alkyl)amido; Z = (un)substituted (hetero)arylene; Z1 = (un)substituted phenylene; 1 of m, n = 0 and the other = 1] were prep. Thus, 1-(4-chlorophenyl)cyclopropanecarboxylic acid was converted in 5 steps to title compd. II. Data for biol. activity of I were given.
 IT 325125-04-0P 325125-09-5P 325125-10-8P
 325125-12-0P 325125-23-3P 325125-30-2P
 325125-31-3P 325125-60-8P 325125-61-9P
 325125-62-0P 325125-63-1P 325125-74-8P
 325125-79-3P 325125-88-4P 325125-89-5P
 325125-90-8P 325125-91-9P 325125-92-0P
 325125-94-2P 325125-96-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amidinobenzamides and analogs as factor Xa inhibitors)
 RN 325125-04-0 CAPLUS
 CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

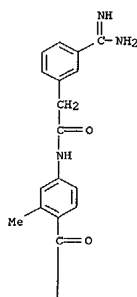
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● HCl

RN 325125-09-5 CAPLUS
 CN Benzeneacetamide, 3-(aminoiminomethyl)-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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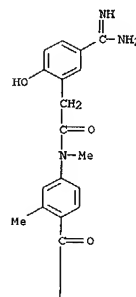


● HCl

RN 325125-10-8 CAPLUS
 CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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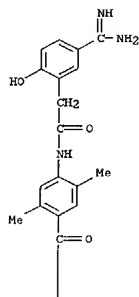


● HCl

RN 325125-12-0 CAPLUS
 CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[2,5-dimethyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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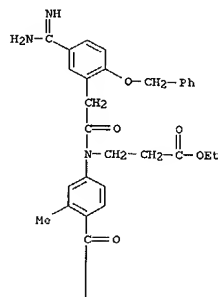


● HCl

RN 325125-23-3 CAPLUS
CN .beta.-Alanine, N-[[5-[(aminominoimethyl)-2-(phenylmethoxy)phenyl]acetyl]-N-(3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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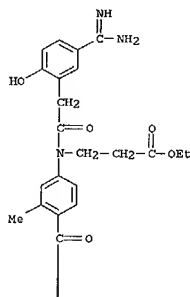


● HCl

RN 325125-30-2 CAPLUS
CN .beta.-Alanine, N-[[5-[(aminominoimethyl)-2-(phenylmethoxy)phenyl]acetyl]-N-(3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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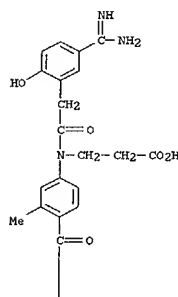


● HCl

RN 325125-31-3 CAPLUS
CN .beta.-Alanine, N-[[5-[(aminominoimethyl)-2-(phenylmethoxy)phenyl]acetyl]-N-(3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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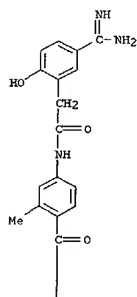


● HCl

RN 325125-60-8 CAPLUS
CN Benzeneacetamide, 5-[(aminominoimethyl)-2-(phenylmethoxy)phenyl]-N-(3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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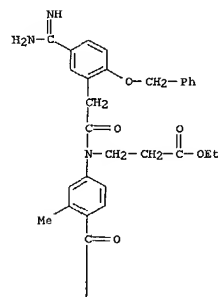
PAGE 2-A



RN 325125-61-9 CAPLUS
CN .beta.-Alanine, N-[[5-(aminomethyl)-2-(phenylmethoxy)phenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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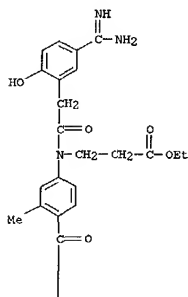
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RN 325125-62-0 CAPLUS
CN .beta.-Alanine, N-[[5-(aminomethyl)-2-hydroxyphenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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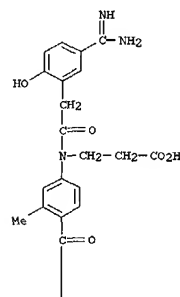
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RN 325125-63-1 CAPLUS
CN .beta.-Alanine, N-[[5-(aminomethyl)-2-hydroxyphenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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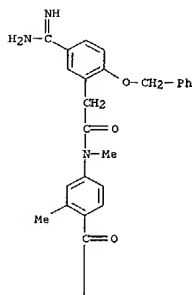


RN 325797-74-8 CAPLUS
CN Benzeneacetamide, 5-(aminomethyl)-N-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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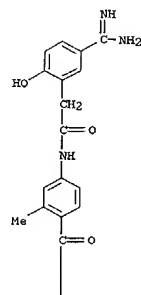


RN 325797-79-3 CAPLUS
CN Benzeneacetamide, 5-[(aminoininomethyl)-2-hydroxy-N-[3-methyl-4-[(2-methyl-1-pyrrolidinyl)carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

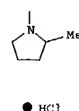
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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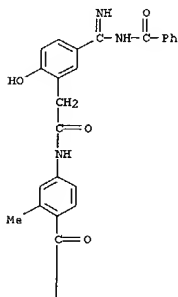


RN 325797-88-4 CAPLUS
CN Benzeneacetamide, 5-[(benzoylamino)iminomethyl]-2-hydroxy-N-[3-methyl-4-[(2-methyl-1-pyrrolidinyl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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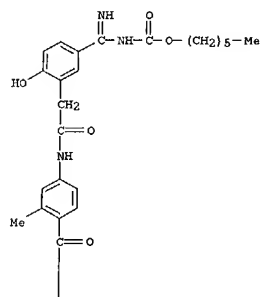


RN 325797-89-5 CAPLUS
CN Carbamic acid, [[4-hydroxy-3-{2-[(3-methyl-4-(1-pyrrolidinyl)carbonyl]phenyl]amino}-2-oxoethyl]phenyl]iminomethyl]-, hexyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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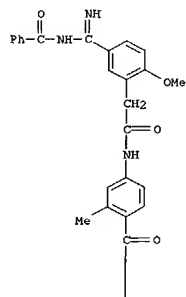


RN 325797-90-8 CAPLUS
CN Benzeneacetamide, 5-[(benzoylamino)iminomethyl]-2-methoxy-N-[3-methyl-4-(1-pyrrolidinyl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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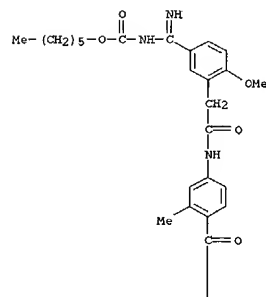


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CN Carbamic acid, [imino[4-methoxy-3-[[2-[[[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-oxoethyl]phenyl]methyl]-, hexyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

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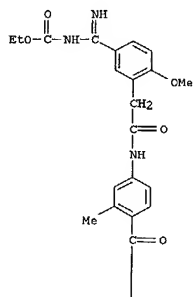


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L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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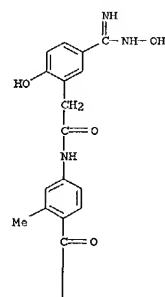


RN 325797-94-2 CAPLUS
CN Benzeneacetamide, 2-hydroxy-5-[(hydroxyamino)iminomethyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monoacetate (salt) (9CI) (CA INDEX NAME)
CM 1
CRN 325797-93-1
CMF C21 H24 N4 O4

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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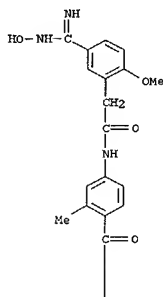
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RN 325797-96-4 CAPLUS
CN Benzeneacetamide, 5-[(hydroxyamino)iminomethyl]-2-methoxy-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monoacetate (salt) (9CI) (CA INDEX NAME)
CM 1
CRN 325797-95-3
CMF C22 H26 N4 O4

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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CM 2

CRN 64-19-7
CMF C2 H4 O2



IT 325125-05-1P 325125-08-4P 325125-18-6P
325125-21-1P 325125-27-7P 325798-02-5P
325798-04-7P
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of amidinobenzamides and analogs as factor Xa inhibitors)
RN 325125-05-1 CAPLUS

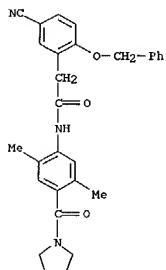
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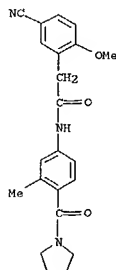
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RN 325125-18-6 CAPLUS
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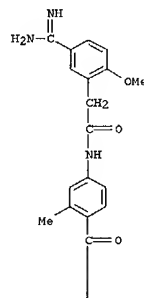
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L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



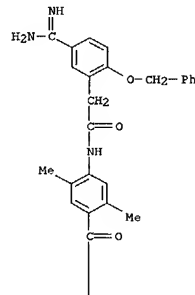
RN 325125-08-4 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-methoxy-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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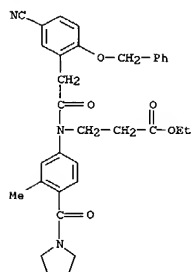


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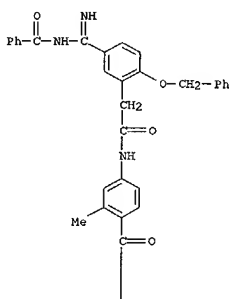
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CN .beta.-Alanine, N-[[5-cyano-2-(phenylmethoxy)phenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 325798-02-5 CAPLUS
 CN Benzeneacetamide, 5-[(benzoylamino)iminomethyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

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L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2
 CRN 64-19-7
 CMF C2 H4 O2



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

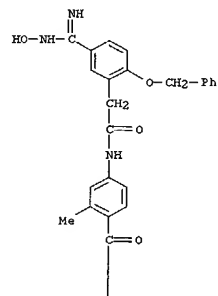
PAGE 2-A



RN 325798-04-7 CAPLUS
 CN Benzeneacetamide, 5-[(hydroxyamino)iminomethyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-(phenylmethoxy)-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1
 CRN 325798-03-6
 CMF C28 H30 N4 O4

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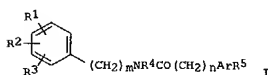


L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:93917 CAPLUS
 DOCUMENT NUMBER: 134:162914
 TITLE: Preparation of (amidino)phenyl-N-(pyrrolidinylcarbonyl)cyclopropylphenylacetamides and benzamides as Factor Xa inhibitors.
 INVENTOR(S): Ries, Uwe; Priepke, Henning; Heckel, Armin; Nar, Herbert; Wienen, Wolfgang; Stassen, Jean Marie
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
 SOURCE: Ger. Offen., 22 pp.
 CODEN: GWXXRX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

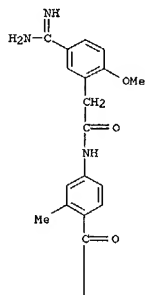
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001010823	A1	20010215	WO 2000-EP7457	20000802
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, HL, HR, NE, SN, TD, TG				
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JP 2003506432	T2	20030218	JP 2001-515290	20000802
PRIORITY APPLN. INFO.: DE 1999-19937494 A 19990807 DE 2000-1002563 A 20000524 WO 2000-EP7457 W 20000802				

OTHER SOURCE(S): CASREACT 134:162914; MARPAT 134:162914
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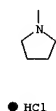


AB Title compds. [I; Ar = (substituted) phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, pyrazinylene, etc.; R1 = H, (substituted) alkyl, 1-(cycloalkyliminocarbonyl)cycloalkyl, (substituted) Ph, etc.; R2 = H, halo, OH, alkyl, alkoxy; R3 = H, alkyl; R4 = H, alkyl, carboxyalkyl; R5 = cyano, (alkyl-substituted) amidino, etc.; m, n = 0-1] were prepd. as antithrombotics. Thus, 5-cyano-2-methoxyphenylacetic acid (prepn. given) in DMF was stirred with N,N-carbonylbisimidazole for 10 min. and after addn. of 5-(pyrrolidin-1-ylcarbonyl)-2-methylaniline the reaction mixt. was stirred 4 h at 80.degree. to give 2-(5-cyano-methoxyphenyl)-N-[2-methyl-5-(1-pyrrolidin-1-carbonyl)cyclopropylphenyl]acetamide. The latter in CH2Cl2 was treated dropwise with Et3N at -35.degree. to 25.degree. to give the 2-(2-hydroxyphenyl) deriv., which was stirred with HCl and (NH4)2CO3 to give 804 2-(5-amidino-2-hydroxyphenyl)-N-[2-methyl-5-(1-

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
pyrrolidin-1-carbonyl)cyclopropylphenylacetamide hydrochloride. Tested I
inhibited Factor Xa with IC50 = 0.03-0.85 .mu.M.
IT 325125-08-4P 325125-23-3P 325125-30-2P
RL: RAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
(prepn. of (amidinophenyl)-N-(pyrrolidinylcarbonyl)cyclopropylphenyl)ace
tamides and -benzamides as antithrombotics)
RN 325125-08-4 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-methoxy-N-[3-methyl-4-(1-
pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



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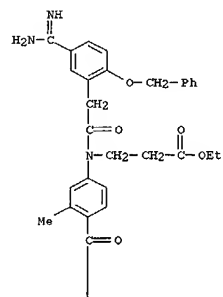


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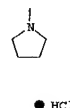
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RN 325125-23-3 CAPLUS
CN .beta.-Alanine, N-[[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]acetyl]-N-

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester,
monohydrochloride (9CI) (CA INDEX NAME)



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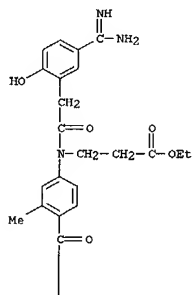
PAGE 2-A

● HCl

RN 325125-30-2 CAPLUS
CN .beta.-Alanine, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]acetyl]-N-[3-
methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester, monohydrochloride
(9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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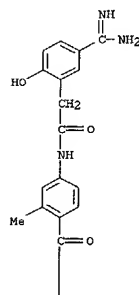
PAGE 2-A

● HCl

IT 325125-04-0P 325125-09-5P 325125-10-8P
325125-11-9P 325125-12-0P 325125-31-3P
325125-60-8P 325125-61-9P 325125-62-0P
325125-63-1P
RL: RAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (amidinophenyl)-N-(pyrrolidinylcarbonyl)cyclopropylphenyl)ace
tamides and -benzamides as antithrombotics)
RN 325125-04-0 CAPLUS
CN Benzeneacetamide, 3-(aminoiminomethyl)-2-hydroxy-N-[3-methyl-4-(1-
pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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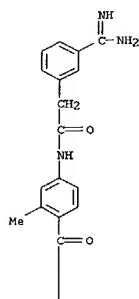
PAGE 2-A

● HCl

RN 325125-09-5 CAPLUS
CN Benzeneacetamide, 3-(aminoiminomethyl)-N-[3-methyl-4-(1-
pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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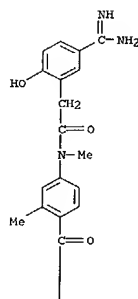


● HCl

RN 325125-10-8 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-2-hydroxy-N-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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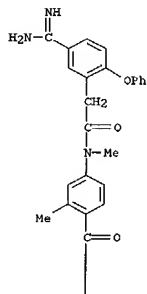


● HCl

RN 325125-11-9 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-methyl-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-phenoxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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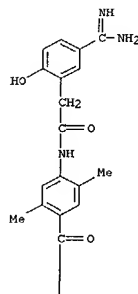


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RN 325125-12-0 CAPLUS
CN Benzeneacetamide, 5-(aminoiminomethyl)-N-[2,5-dimethyl-4-(1-pyrrolidinylcarbonyl)phenyl]-2-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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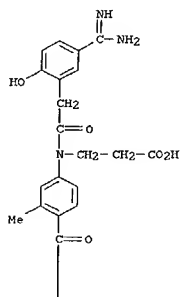


● HCl

RN 325125-31-3 CAPLUS
CN .beta.-Alanine, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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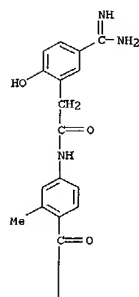


• HCl

RN 325125-60-8 CAPLUS
CN Benzeneacetamide, 5-[(5-(aminoiminomethyl)-2-hydroxy-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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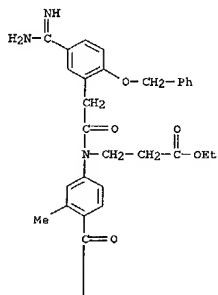
PAGE 2-A



RN 325125-61-9 CAPLUS
CN .beta.-Alanine, N-[[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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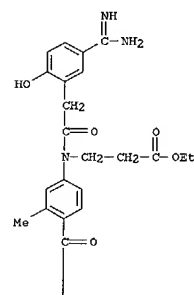
PAGE 2-A



RN 325125-62-0 CAPLUS
CN .beta.-Alanine, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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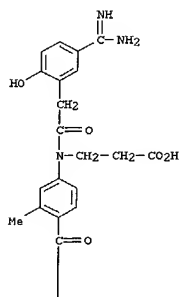
PAGE 2-A



RN 325125-63-1 CAPLUS
CN .beta.-Alanine, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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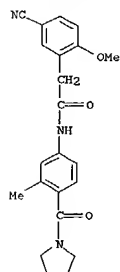


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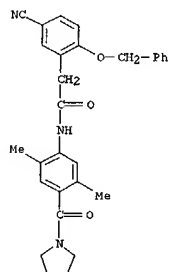


IT 325125-05-1P 325125-10-6P 325125-21-1P
 325125-27-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of [amidinophenyl]-N-(pyrrolidinylcarbonylcyclopropylphenyl)acetamides and -benzamides as antithrombotics)
 RN 325125-05-1 CAPLUS
 CN Benzeneacetamide, 5-cyano-2-methoxy-N-(3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



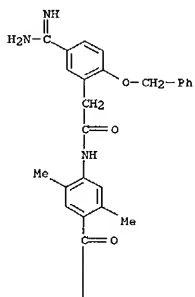
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RN 325125-21-1 CAPLUS
 CN Benzeneacetamide, 5-(aminoiminomethyl)-N-(2,5-dimethyl-4-(1-pyrrolidinylcarbonyl)phenyl)-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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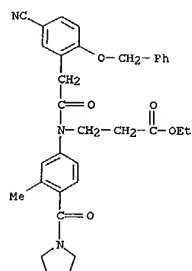


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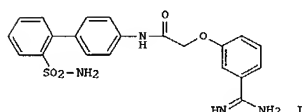
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 CN .beta.-Alanine, N-[(5-cyano-2-(phenylmethoxy)phenyl)acetyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2000:842106 CAPLUS
 DOCUMENT NUMBER: 134:29205
 TITLE: Preparation of benzamides and arylamides as
 inhibitors of factor Xa
 INVENTOR(S): Su, Ting; Zhu, Bing-Yan; Kane-Maguire, Kim;
 Scarborough, Robert M.; Zhang, Fenglie
 PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
 SOURCE: PCT Int. Appl., 144 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071510	A2	20001130	WO 2000-US14195	20000524
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GT, HE, HR, HU, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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PRIORITY APPLN. INFO.: US 1999-135849P 2 19990524 WO 2000-US14195 W 20000524				
OTHER SOURCE(S): MARPAT 134:29205 GI				



AB AYDEGJZL [wherein A = (cyclo)alkyl, NR2R3, C(:NR2)NR2R3, C(:NR2)R3, NR3C(:NR2)NR2R3, (un)substituted Ph, naphthyl, or heterocyclic ring; R2 and R3 = independently H, (cyclo)alkyl, alkenyl, alkynyl, alkylcycloalkyl, or (un)substituted alkylphenyl or alkylphenyl; Y = bond, bivalent alkyl, alkenyl, or alkynyl, CH2, CO, C(:NR4), NR4, NR4CH2, CH2NR4, CONR4, NR4CO, SO2, O, SO2NR4, or NR4SO2; R4 = H, alkyl, alkenyl, alkynyl, or (un)substituted alkylaryl or alkylheterocyclyl; D = (un)substituted Ph, naphthyl, or heterocyclic ring; E = NR5CO, CONR5, NR5, or NR5(CH2)0-2; R5

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 = H, alkyl, alkyl(hetero)aryl, or (un)substituted carboxyalkyl or carboxamidoalkyl; G = (un)substituted methylene or ethylene; J = O, OCHR11, S, SCHR11, S(O), SO2, S(O)CHR11, SO2CHR11; R11 = H, alkyl, or (un)substituted alkyl(hetero)aryl; Z = (un)substituted Ph, naphthyl, or heterocyclic ring; L = H, CN, CONR12NR13, (CH2)0-2NR12R13, C(:NR12)NR12R13, NR12R13, OR12, NR12C(:NR12)NR12R13, or NR12C(:NR12)R13; R12 and R13 = independently H, OR14, NR14R15, alkyl, (un)substituted alkylphenyl, alkylphenyl, or carboxyalkyl; R14 and R15 = independently H, alkyl, (un)substituted alkyl(hetero)aryl, or together with the attached N forms a heterocyclic ring] were prep'd. as potent and highly selective inhibitors of factor Xa for the prevention or treatment of coagulation disorders (no data). For example, 2-(3-cyanophenoxy)acetic acid was coupled with ([2-(4-aminophenyl)phenyl]sulfonyl)(tert-butyl)amine in the presence of BOP in DMF to give the acetamide intermediate. Treatment with NH2OH.bul.HCl and TEA in EtOH, followed by addn. of AcOH, redn. using Pd/C in MeOH, and deprotection with TFA afforded the benzamide (I). Comps. of the invention show selectivity for factor Xa vs. other proteases of the coagulation cascade or the fibrinolytic cascade, and are useful as diagnostic reagents as well as antithrombotic agents (no data).

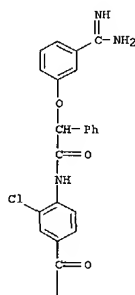
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 308288-83-7P

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use) (prep'n. of benzamide and arylamide factor Xa inhibitors by amidation of cyanoaryl-substituted carboxylic acids with amines and subsequent conversion of nitriles to amides)

RN 489427-81-8 CAPLUS
 CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[2-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]- (SCI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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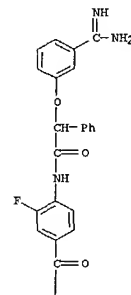
PAGE 2-A



RN 489427-84-1 CAPLUS
 CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[2-fluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (SCI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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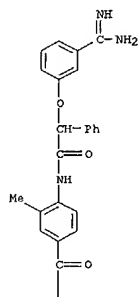
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RN 489427-85-2 CAPLUS
 CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[2-methyl-4-(1-pyrrolidinylcarbonyl)phenyl]- (SCI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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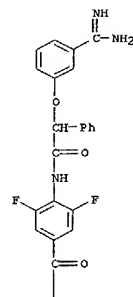
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RN 489427-86-3 CAPLUS
CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[2,6-difluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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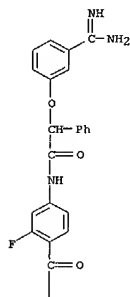
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RN 489427-87-4 CAPLUS
CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[3-fluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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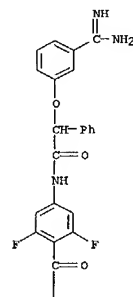
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RN 489427-88-5 CAPLUS
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L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

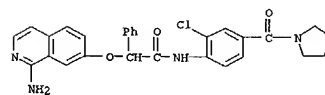
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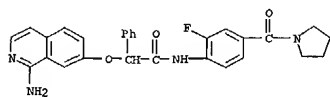


RN 489428-92-4 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2-chloro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

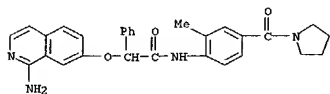


RN 489428-93-5 CAPLUS
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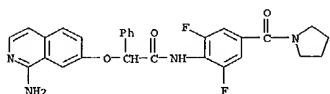
L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



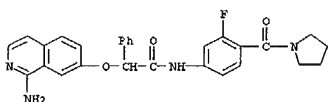
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RN 489428-95-7 CAPLUS
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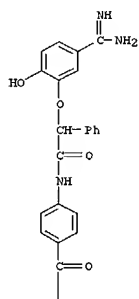
RN 489428-96-8 CAPLUS
 CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3-fluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 489428-97-9 CAPLUS
 CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[3,5-difluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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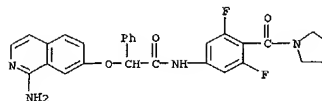


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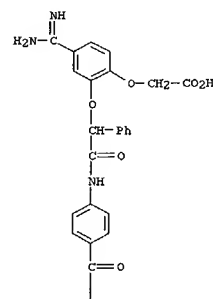
RN 489436-70-6 CAPLUS
 CN Benzeneacetamide, .alpha.-[5-(aminoiminomethyl)-2-fluorophenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 489436-62-6 CAPLUS
 CN Acetic acid, [4-(aminoiminomethyl)-2-[2-hydroxy-1-phenyl-2-[(4-(1-pyrrolidinylcarbonyl)phenyl]amino]ethoxy]phenyl]- (9CI) (CA INDEX NAME)

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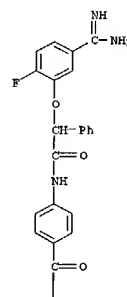
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RN 489436-66-0 CAPLUS
 CN Benzeneacetamide, .alpha.-[5-(aminoiminomethyl)-2-hydroxyphenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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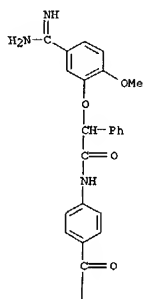


RN 489436-72-8 CAPLUS
 CN Benzeneacetamide, .alpha.-[5-(aminoiminomethyl)-2-methoxyphenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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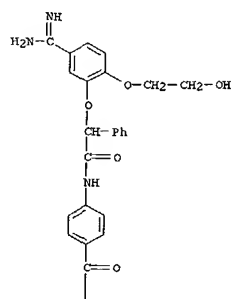


RN 489437-00-5 CAPLUS
CN Benzeneacetamide, .alpha.-[5-(aminoiminomethyl)-2-(2-hydroxyethoxy)phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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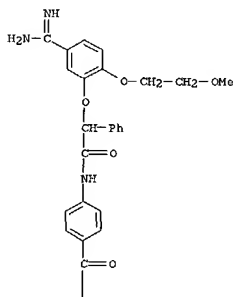


RN 489437-41-4 CAPLUS
CN Benzeneacetamide, .alpha.-[5-(aminoiminomethyl)-2-(2-methoxyethoxy)phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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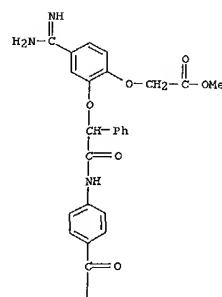


RN 489437-89-0 CAPLUS
CN Acetic acid, [4-(aminoiminomethyl)-2-[2-oxo-1-phenyl-2-[[4-(1-pyrrolidinylcarbonyl)phenyl]amino]ethoxy]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

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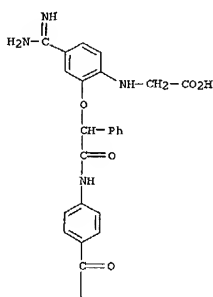


RN 489437-90-3 CAPLUS
CN Glycine, N-[4-(aminoiminomethyl)-2-[2-oxo-1-phenyl-2-[[4-(1-pyrrolidinylcarbonyl)phenyl]amino]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

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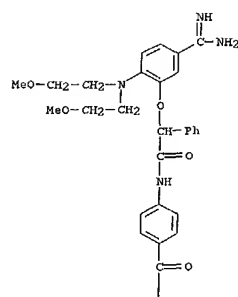


RN 489437-91-4 CAPLUS
CN Benzeneacetamide, .alpha.-[5-(aminoiminomethyl)-2-[(2-methoxyethyl)amino]phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI)
(CA INDEX NAME)

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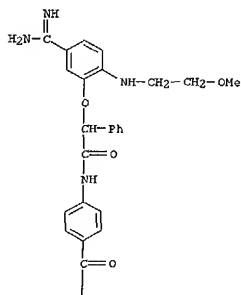


RN 489437-92-5 CAPLUS
CN Benzeneacetamide, .alpha.-[5-(aminoiminomethyl)-2-[(2-methoxyethyl)amino]phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI)
(CA INDEX NAME)

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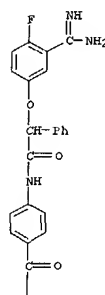


RN 489438-02-0 CAPLUS
CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)-4-fluorophenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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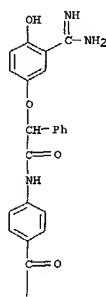
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RN 489438-03-1 CAPLUS
CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)-4-hydroxyphenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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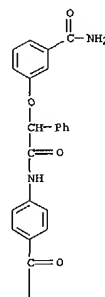
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RN 489438-04-2 CAPLUS
CN Benzeneacetamide, .alpha.-[3-(aminocarbonyl)phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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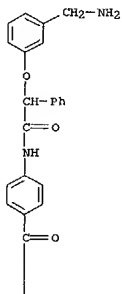
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RN 489438-05-3 CAPLUS
CN Benzeneacetamide, .alpha.-[3-(aminomethyl)phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

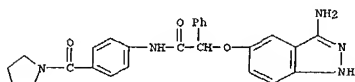
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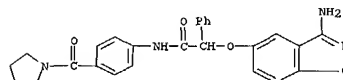


RN 489438-07-5 CAPLUS
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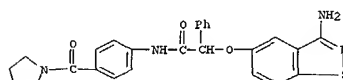


RN 489438-08-6 CAPLUS
CN Benzeneacetamide, .alpha.-[3-(amino-1,2-benzisoxazol-5-yl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

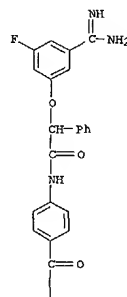


RN 489438-09-7 CAPLUS
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RN 489438-13-3 CAPLUS
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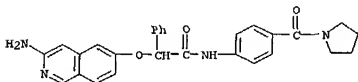


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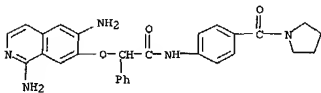
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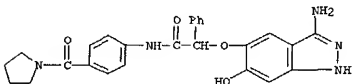
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RN 489438-63-3 CAPLUS
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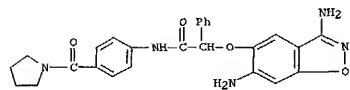


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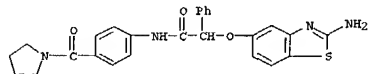


RN 489438-71-3 CAPLUS
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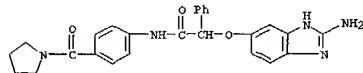
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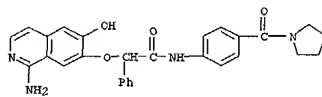
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RN 489438-83-7 CAPLUS
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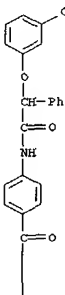
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RN 489439-00-1 CAPLUS
CN Benzeneacetamide, .alpha.-[3-chlorophenoxymethyl]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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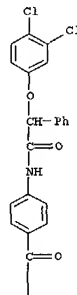
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RN 489439-01-2 CAPLUS
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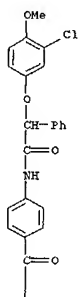
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RN 489439-02-3 CAPLUS
CN Benzeneacetamide, .alpha.-[3-chloro-4-methoxyphenoxymethyl]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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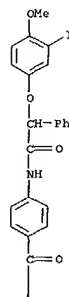
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RN 489439-50-1 CAPLUS
CN Benzenesacetamide, .alpha.-(3-fluoro-4-methoxyphenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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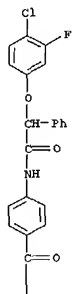
PAGE 2-A



RN 489439-79-4 CAPLUS
CN Benzenesacetamide, .alpha.-(4-chloro-3-fluorophenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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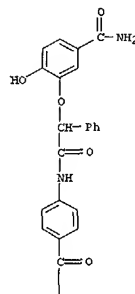
PAGE 2-A



RN 489439-80-7 CAPLUS
CN Benzenesacetamide, .alpha.-(5-(aminocarbonyl)-2-hydroxyphenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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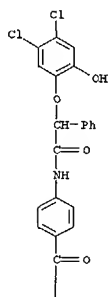


RN 489439-81-8 CAPLUS
CN Benzenesacetamide, .alpha.-(4,5-dichloro-2-hydroxyphenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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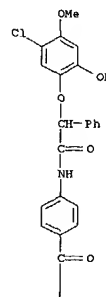
RN 489439-82-9 CAPLUS

CN Benzenesacetamide, .alpha.-(5-chloro-2-hydroxy-4-methoxyphenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

PAGE 1-A



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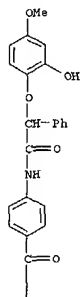
RN 489439-87-4 CAPLUS

CN Benzenesacetamide, .alpha.-(2-hydroxy-4-methoxyphenoxy)-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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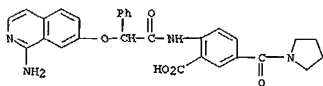


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RN 489448-49-9 CAPLUS

CN Benzoic acid, 2-[[[(1-amino-7-isoquinolinyl)oxy]phenylacetyl]amino]-5-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

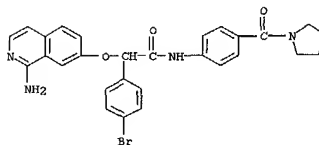


RN 489448-64-8 CAPLUS

CN Benzenesacetamide, .alpha.-(1-amino-7-isoquinolinyl)oxy]-4-bromo-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

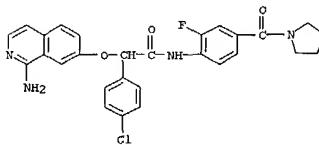
L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)



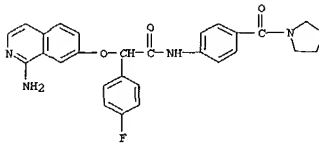
RN 489448-65-9 CAPLUS

CN Benzenesacetamide, .alpha.-(1-amino-7-isoquinolinyl)oxy]-4-chloro-N-[2-fluoro-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 489448-66-0 CAPLUS

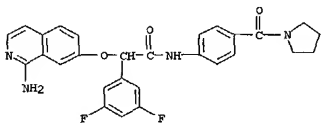
CN Benzenesacetamide, .alpha.-(1-amino-7-isoquinolinyl)oxy]-4-fluoro-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 489448-67-1 CAPLUS

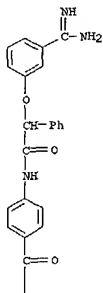
CN Benzenesacetamide, .alpha.-(1-amino-7-isoquinolinyl)oxy]-3,5-difluoro-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 308288-70-2 CAPLUS
CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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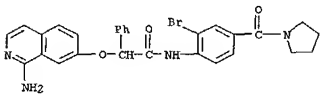


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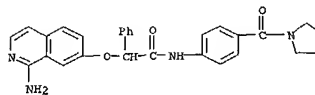


RN 308288-72-4 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

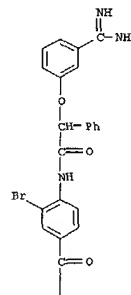


L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 308288-82-6 CAPLUS
CN Benzeneacetamide, .alpha.-[3-(aminoiminomethyl)phenoxy]-N-[2-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

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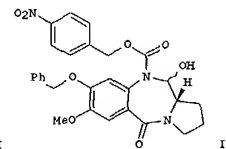
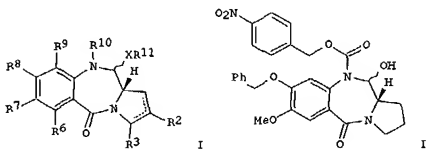


RN 308288-83-7 CAPLUS
CN Benzeneacetamide, .alpha.-[(1-amino-7-isoquinolinyl)oxy]-N-[2-bromo-4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:161283 CAPLUS
DOCUMENT NUMBER: 132:207703
TITLE: Preparation of pyrrolbenzodiazepines (PBDs) as antitumor antibiotics
INVENTOR(S): Thurston, David Edwin; Howard, Philip Wilson
PATENT ASSIGNER(S): The University of Portsmouth Higher Education Corporation, UK
SOURCE: PCT Int. Appl., 101 pp.
CODEN: P1XXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012507	A2	20000309	WO 1999-GB2837	19990827
WO 2000012507	A3	20000831		
W: AE, AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, HK, HN, HW, HZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AA, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, HR, NE, SN, TD, TG				
CA 2341968	AA	20000309	CA 1999-2341968	19990827
AU 9955261	A1	20000321	AU 1999-55261	19990827
AU 758398	B2	20030320		
EP 1109811	A2	20010627	EP 1999-941766	19990827
EP 1109811	B1	20030806		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525284	T2	20020813	JP 2000-571053	19990827
US 6562806	B1	20030513	US 2001-763814	20010226
PRIORITY APPLN. INFO.: GB 1998-18731 A 19980827				
WO 1999-GB2837 W 19990827				
OTHER SOURCE(S): MARPAT 132:207703				
GI				



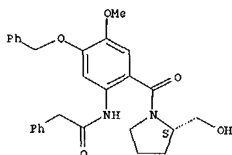
AB 5H-Pyrrolo[2,1-c][1,4]benzodiazepin-5-one derivs. (I) [wherein R = (un)substituted (ar)alkyl, etc.; R2 and R3 = independently H, R, OH, OR,

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 =O, =CH-R, =CH₂-CO₂R, CH₂-CO₂H, CH₂-SO₂R, O-SO₂-R, CO₂R, COR, or CN;
 R₆, R₇, R₈, and R₉ = independently H, R, OH, OR, halo, NH₂, NO₂, or Me₃Sn;
 or R₇ and R₈ together form a -O-(CH₂)_p-O- group, where p = 1 or 2; or the
 compd. is a dimer with each monomer being the same or different and being
 of formula I and the R₈ groups of the monomers form a -T-R'-T- bridge,
 where R' is an alkylene chain which may contain g-torsed, 1 heteroatoms
 and/or arom. rings and/or carbon-carbon double or triple bonds, and each T
 = independently O, S, or N; R₁₀ = a therapeutically removable N-protecting
 group; R₁₁ = H or R; X is S, O, or NH were prep'd. for the treatment of
 cancer and other site-specific diseases where a local increase of toxicity
 is beneficial to the patient. Examples include the syntheses of benzyl
 DC-81, benzyl tomasmycin, and DS₈-120 prodrugs starting from
 2-nitrobenzoic acid derivs. and pyrrolidines. Data from enzyme and light
 activation studies and cytotoxicity assays are also given. For example,
 the nitroreductase-activated benzyl DC-81 (II) was formed in a 6-step
 sequence involving: (1) benzylation of vanillic acid (67%); (2) ring
 nitration (92%); (3) amidation with (2S)-pyrrolidinemethanol (88%); (4)
 reduct. of the nitro group (81%); (5) N-addn. of 4-nitrobenzyl
 chloroformate; and (6) cyclization using Swern oxidn. conditions (31%).
 In the presence of nitroreductase and the NADH co-factor, II demonstrated
 antitumor activity (IC₅₀ = 1-5 .mu.M) against the SW1116 and LS174T human
 adenocarcinoma colonic cell lines. II proved non-toxic in SW1116 cells at
 concns. < 1000 .mu.M and showed slight toxicity in LS174T cells at
 concns. > 100 .mu.M. I may also be suitable for treating bacterial,
 parasitic, or viral infections by exploiting a unique enzyme produced at
 the site of infection which is not natural to the host, or by exploiting
 an elevation in the amt. of an enzyme which does occur naturally in the
 host.

IT 260391-52-4P 260391-68-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; prepn. of pyrrolbenzodiazepinone prodrugs from
 2-nitrobenzoic acid derivs. and pyrrolidines for the treatment of
 cancer)

RN 260391-52-4 CAPLUS
 CN Benzeneacetamide, N-[2-[[[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]carbonyl]-4-
 methoxy-5-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

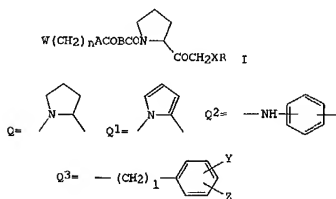


RN 260391-68-2 CAPLUS
 CN Benzeneacetamide, N,N'-[1,3-propanediylbis[oxyl[6-[[[(2S)-2-(hydroxymethyl)-

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 ACCESSION NUMBER: 1994:164907 CAPLUS
 DOCUMENT NUMBER: 120:164907
 TITLE: Preparation of proline containing peptide analogs as
 specific inhibitors of prolyl endopeptidase
 Kobayashi, Koji; Nishii, Kazuhiko; Iwata, Kunio;
 Uchida, Ituro
 Nippon Tobacco Sangyo, Japan; Yoshitomi Pharmaceutical
 Jpn. Kokai Tokkyo Koho, 21 pp.
 CODEN: JKKXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05201970	A2	19930810	JP 1992-50136	19920124
PRIORITY APPLN. INFO.:			JP 1992-50136	19920124
OTHER SOURCE(S):			MARKPAT 120:164907	

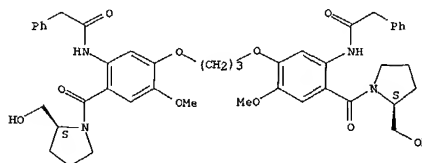
GI



AB Title compds. (I; A = O, NH, CONH, single bond; B = Q-Q₂, (CH₂)_k, NHCHR₁;
 k = 1-3; R₁ = H, lower alkyl; W = 2-oxo-1-pyrrolidinyl, R₂C₆H₄; R₂ = H,
 halo, lower alkyl; X = S, SO, SO₂, O, NH; R = Q₃, lower alkyl; l = 0-3; Y,
 Z = H, halo, lower (fluoro)alkyl, NH₂, NO₂, OH, lower alkoxy; or YZ may
 form (un)satd. 5- or 6-membered ring; n = 1-6) are prep'd. I specifically
 inhibit decomp. and inactivation of proline-contg. brain hormones and
 neurotransmitters (TSH-releasing hormone, substance P, neurotensin, and
 vasopressin) and thus are useful for the improvement of said hormone- and
 neurotransmitters-related diseases and for the prevention and treatment of
 dementia and amnesia including Alzheimer's disease, directly acting on the
 core symptoms of dementia. Thus, NaH was stirred with DMSO at 70.degree.
 and mixt. was dild. with THF, cooled to -5.degree., and then treated with
 a soln. of Me₃Si in DMSO followed by a soln. of N-tert-butoxycarbonyl-L-
 proline in THF to give, after reacting at 0.degree. for 1 h,
 (2S)-1-(tert-butoxycarbonyl)-2-[(1,2-epoxyethyl)pyrrolidine]. The latter
 compd. was refluxed with 4-chlorophenol in MeOH contg. NaOMe to give
 (2S)-1-(tert-butoxycarbonyl)-2-[(2-(4-chlorophenoxy)-1-
 hydroxyethyl)pyrrolidine]. The latter compd. was deprotected with 4N HCl
 in 1,4-dioxane and then condensed with benzyl N-(3-phenylpropionyl)-L-
 proline (prepn. given) using 1-ethyl-2-(3-diethylaminopropyl)carbodiimid

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 1-pyrrolidinyl]carbonyl]-4-methoxy-3,1-phenylene]]bis- (9CI) (CA INDEX
 NAME)

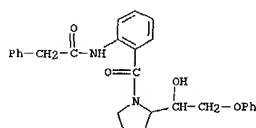
Absolute stereochemistry.



L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 e, hydroxybenzotriazole, and N-methylmorpholine in DMF to give
 (2S)-2-[2-(4-chlorophenoxy)-1-hydroxyethyl]-1-[N-(3-phenylpropionyl)-L-
 prolyl]pyrrolidine which was oxidized with SO₃-pyridine complex in DMSO to
 give (2S)-2-(4-chlorophenoxyacetyl)-1-[N-(3-phenylpropionyl)-L-
 prolyl]pyrrolidine (II). II at 1 .mu.M and (2S)-1-[N-(4-
 fluorobenzylaminocarbonyl)-L-prolyl]-2-(4-fluorophenoxyacetyl)pyrrolidine
 at 0.1 .mu.M inhibited 98% prolyl endopeptidase and did not inhibit
 trypsin, chymotrypsin, leucine aminopeptidase, elastase, and cathepsin.

IT 153451-28-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for prolyl endopeptidase inhibitor)

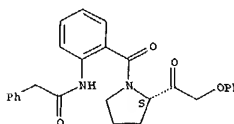
RN 153451-28-6 CAPLUS
 CN Benzeneacetamide, N-[2-[[2-(1-hydroxy-2-phenoxyethyl)-1-
 pyrrolidinyl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



IT 153451-04-8P
 RL: RAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological
 study); PREP (Preparation)
 (prepn. of, as prolyl endopeptidase inhibitor)

RN 153451-04-8 CAPLUS
 CN Benzeneacetamide, N-[2-[[2-(phenoxyacetyl)-1-pyrrolidinyl]carbonyl]phenyl]-
 (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

41.24

189.60

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

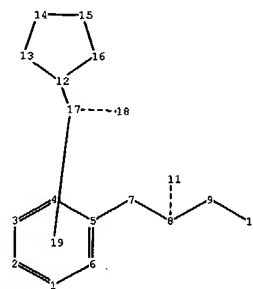
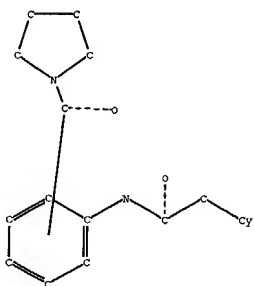
CA SUBSCRIBER PRICE

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STN INTERNATIONAL LOGOFF AT 12:45:29 ON 18 AUG 2003

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chain nodes :

7 8 9 10 11 17 18

ring nodes :

1 2 3 4 5 6 12 13 14 15 16

chain bonds :

5-7 7-8 8-9 8-11 9-10 12-17 17-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-16 13-14 14-15 15-16

exact/norm bonds :

5-7 7-8 8-11 9-10 12-13 12-16 12-17 13-14 14-15 15-16 17-18

exact bonds :

8-9

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS
10:Atom 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS
18:CLASS 19:CLASS